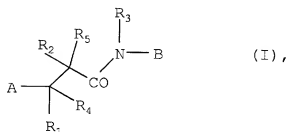


What is claimed is:

1. A method for the treatment of a pathophysiological process which is dependent upon an increased rate of cell division or increased telomerase activity, which  
 5 method comprises administering to a host in need of such treatment a therapeutic amount of a compound of the formula



wherein

10 R<sub>1</sub> denotes a hydrogen atom, a C<sub>1-3</sub>-alkyl or trifluoromethyl group,

R<sub>2</sub> denotes a hydrogen, fluorine, chlorine or bromine atom, a C<sub>1-3</sub>-alkyl, C<sub>3-7</sub>-cycloalkyl or C<sub>1-3</sub>-alkoxy group or also, if R<sub>4</sub> and R<sub>5</sub> each denote a hydrogen atom, R<sub>1</sub> and R<sub>2</sub> together  
 15 denote an n-C<sub>1-3</sub>-alkylene group optionally substituted by a C<sub>1-3</sub>-alkyl group,

R<sub>3</sub> denotes a hydrogen atom or a C<sub>1-5</sub>-alkyl group,

R<sub>4</sub> and R<sub>5</sub> each denote a hydrogen atom or together denote another carbon-carbon bond,

20 A denotes a phenyl, naphthyl or tetrahydronaphthyl group substituted by a fluorine, chlorine, bromine or iodine atom, by a C<sub>1-6</sub>-alkyl, C<sub>3-7</sub>-cycloalkyl, phenyl, C<sub>1-3</sub>-alkoxy, cyano, trifluoromethyl or nitro group, whilst the abovementioned monosubstituted phenyl and naphthyl groups may additionally be substituted by a fluorine, chlorine or bromine  
 25 atom, by a C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy group and the abovementioned disubstituted phenyl groups may additionally be substituted by a C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy group,

a naphthyl group,

a chromane or chromene group wherein a methylene group may be replaced by a carbonyl group,

5

a 5- or 6-membered heteroaryl group optionally substituted in the carbon skeleton by a fluorine, chlorine or bromine atom, by a C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy group, whilst the 6-membered heteroaryl groups contain one, two or three nitrogen atoms and the 5-membered heteroaryl groups contain an imino group optionally substituted by a C<sub>1-3</sub>-alkyl group, an oxygen or sulphur atom or an imino group optionally substituted by a C<sub>1-3</sub>-alkyl group and an oxygen or sulphur atom or one or two nitrogen atoms and additionally a phenyl ring may be fused to the abovementioned monocyclic heteroaryl groups via two adjacent carbon atoms whilst said phenyl ring may also be substituted in the carbon skeleton by a fluorine, chlorine or bromine atom, by a C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy group,

10

15

a phenylvinyl group or

R<sub>1</sub> together with A and the carbon atom between them denotes a C<sub>5-7</sub>-cycloalkylidene group to which a phenyl ring may be fused via two adjacent carbon atoms, whilst said phenyl ring may additionally be substituted by one or two C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy groups, whilst the substituents may be identical or different, and

20

B denotes a 5- or 6-membered heteroaryl group substituted by a carboxy group or capable of being converted into a carboxy group in vivo,

25

a phenyl or naphthyl group, each of which may be substituted by a carboxy group, by a group which may be converted into a carboxy group in vivo or by a group which is negatively charged under physiological conditions, whilst the abovementioned phenyl groups may additionally be substituted

30

by a fluorine, chlorine, bromine or iodine atom,

by a C<sub>1-3</sub>-alkyl, trifluoromethyl, phenyl, hydroxy, C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkylsulphonyloxy, phenylsulphonyloxy, carboxy, C<sub>1-3</sub>-alkoxycarbonyl, formyl, C<sub>1-3</sub>-alkylcarbonyl, C<sub>1-3</sub>-alkylsulphonyl, phenylsulphonyl, nitro, pyrrolidino, piperidino, morpholino, N-(C<sub>1-3</sub>-alkyl)-piperazino, aminosulphonyl, C<sub>1-3</sub>-alkylaminosulphonyl or di-(C<sub>1-3</sub>-alkyl)-aminosulphonyl group,

by a C<sub>1-3</sub>-alkyl group which is substituted by a hydroxy, C<sub>1-3</sub>-alkoxy, amino, C<sub>1-4</sub>-alkylamino, di-(C<sub>1-4</sub>-alkyl)-amino, C<sub>3-7</sub>-cycloalkylamino, pyrrolidino, piperidino, morpholino, piperazino or N-(C<sub>1-3</sub>-alkyl)-piperazino group,

by an n-C<sub>2-3</sub>-alkoxy, C<sub>2-3</sub>-alkenyl or C<sub>2-3</sub>-alkynyl group substituted in the 2 or 3 position by a di-(C<sub>1-3</sub>-alkyl)-amino group,

by an amino group, by an N-(C<sub>1-3</sub>-alkyl)-amino or N,N-di-(C<sub>1-3</sub>-alkyl)-amino group wherein the alkyl moiety may in each case be substituted in the 2 or 3 position in relation to the nitrogen atom by a C<sub>1-3</sub>-alkoxy group, by a N-phenylamino, N-(phenyl-C<sub>1-3</sub>-alkyl)-amino or N-(pyridyl-C<sub>1-3</sub>-alkyl)-amino group wherein in each case a hydrogen atom of the abovementioned amino groups may be substituted by a C<sub>1-3</sub>-alkylsulphonyl, phenyl-C<sub>1-3</sub>-alkylsulphonyl or phenylsulphonyl group or by a C<sub>1-7</sub>-alkyl group, which may be replaced in the 2 to 5 position by a C<sub>1-3</sub>-alkoxy, cyano, amino, C<sub>1-3</sub>-alkylamino, di-(C<sub>1-3</sub>-alkyl)-amino or tetrazolyl group,

by an aminocarbonyl or C<sub>1-3</sub>-alkylaminocarbonyl group which may in each case be substituted at the amino-nitrogen atom

by a C<sub>1-4</sub>-alkyl group which may be substituted by a vinyl, ethynyl, phenyl, pyridyl, imidazolyl, carboxy or trifluoromethyl group or, with the exception of the 2 position based on the aminocarbonyl nitrogen atom, by a hydroxy, C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkylthio, amino, C<sub>1-3</sub>-alkylamino, di-(C<sub>1-3</sub>-alkyl)-amino, C<sub>1-4</sub>-alkanoylamino or C<sub>1-5</sub>-alkoxycarbonylamino group,

by a C<sub>3-7</sub>-cycloalkyl, C<sub>5-9</sub>-Azabicycloalkyl, phenyl, pyridyl, C<sub>1-3</sub>-alkoxy or di-(C<sub>1-3</sub>-alkyl)-amino group,

by a C<sub>1-3</sub>-alkyl group which is substituted by a piperidin-3-yl or piperidin-4-yl group optionally substituted in the 1 position by a C<sub>1-3</sub>-alkyl or C<sub>1-5</sub>-alkoxycarbonyl group, or

by an amino, C<sub>1-3</sub>-alkylamino or phenyl-C<sub>1-3</sub>-alkylamino group optionally substituted at the amino-nitrogen atom by a C<sub>1-4</sub>-alkanoyl, C<sub>1-5</sub>-alkoxycarbonyl, benzoyl, pyrrolidino, piperidino, morpholino or N-(C<sub>1-3</sub>-alkyl)-piperazino group,

by a carbonyl group substituted by a pyrrolidino, pyrrolino, piperidino, morpholino or N-(C<sub>1-3</sub>-alkyl)-piperazino group,

by a sulphonyl group substituted by an amino, C<sub>1-3</sub>-alkylamino, di-(C<sub>1-3</sub>-alkyl)-amino, pyrrolidino, piperidino, morpholino or N-(C<sub>1-3</sub>-alkyl)-piperazino group,

by an amino or N-(C<sub>1-3</sub>-alkyl)-amino group which is substituted in each case at the amino-nitrogen atom by an aminocarbonyl, C<sub>1-3</sub>-alkylaminocarbonyl, phenyl-C<sub>1-3</sub>-alkylaminocarbonyl, phenylaminocarbonyl, phenoxyphenylaminocarbonyl, pyridylaminocarbonyl, pyrrolidinocarbonyl, piperidinocarbonyl, morpholinocarbonyl or N-(C<sub>1-3</sub>-alkyl)-piperazinocarbonyl group, whilst any hydrogen atom present in the abovementioned aminocarbonyl groups may additionally be substituted by a

by a 5- or 6-membered heteroaryl group,

by a dihydro-oxazolyl, dihydro-imidazolyl, 2-oxo-pyrrolidino, 2-oxo-piperidino or 2-oxo-hexamethyleneimino group to which a phenyl ring may be fused via two adjacent carbon atoms,

by an ethynyl group substituted by a phenyl, hydroxymethyl or dimethylamino group, whilst

5 additionally the abovementioned mono or disubstituted phenyl groups may be substituted by another fluorine, chlorine or bromine atom or by one or two other C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy groups and two C<sub>1-3</sub>-alkoxy groups in the o position may be replaced by a methylenedioxy group,

10 and the abovementioned 6-membered heteroaryl groups contain one, two or three nitrogen atoms and the abovementioned 5-membered heteroaryl groups contain an imino group optionally substituted by a C<sub>1-3</sub>-alkyl group, an oxygen or sulphur atom or an imino group optionally substituted by a C<sub>1-3</sub>-alkyl group and an oxygen or sulphur atom or one or two nitrogen atoms and additionally a phenyl ring may be fused to the abovementioned  
15 monocyclic heteroaryl groups via two adjacent carbon atoms, whilst said phenyl ring may be substituted in the carbon skeleton by a fluorine, chlorine or bromine atom or by a C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy group, whilst the abovementioned 5-membered monocyclic heteroaryl groups in the carbon skeleton may additionally be substituted by a C<sub>1-4</sub>-alkyl, trifluoromethyl, phenyl or furanyl group and by another C<sub>1-3</sub>-alkyl group,

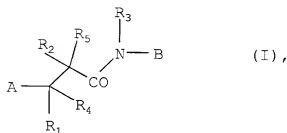
20 whilst amino and imino groups mentioned in the definition of the abovementioned groups may additionally be substituted by a group which can be cleaved *in vivo*,

or a physiologically acceptable salt thereof.

25

2. The method of claim 1 wherein the pathophysiological process is a carcinoma, sarcoma or leukaemia, psoriasis or rheumatoid arthritis.

5 3. A compound of the formula



, wherein:

10 R<sub>1</sub> denotes a hydrogen atom, a C<sub>1-3</sub>-alkyl or trifluoromethyl group,

R<sub>2</sub> denotes a hydrogen, fluorine, chlorine or bromine atom, a C<sub>1-3</sub>-alkyl, C<sub>3-7</sub>-cycloalkyl or C<sub>1-3</sub>-alkoxy group or, if R<sub>4</sub> and R<sub>5</sub> each denote a hydrogen atom, R<sub>1</sub> and R<sub>2</sub> together denote an n-C<sub>1-3</sub>-alkylene group optionally substituted by a C<sub>1-3</sub>-alkyl group,

15 R<sub>3</sub> denotes a hydrogen atom or a C<sub>1-5</sub>-alkyl group,

R<sub>4</sub> and R<sub>5</sub> each denote a hydrogen atom or together denote another carbon-carbon bond,

20 A denotes a phenyl, naphthyl or tetrahydronaphthyl group substituted by a fluorine, chlorine, bromine or iodine atom, by a C<sub>1-6</sub>-alkyl, C<sub>3-7</sub>-cycloalkyl, phenyl, C<sub>1-3</sub>-alkoxy, cyano, trifluoromethyl or nitro group, whilst the abovementioned monosubstituted phenyl and naphthyl groups may additionally be substituted by a fluorine, chlorine or bromine atom, by a C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy group and the abovementioned disubstituted phenyl  
25 groups may additionally be substituted by a C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy group, with the proviso that

A does not denote a phenyl group which is substituted by a halogen atom, by a methyl, pentyl, C<sub>1-3</sub>-alkoxy or phenyl group or by two C<sub>1-3</sub>-alkoxy groups, if

R<sub>3</sub> denotes a hydrogen atom,

R<sub>4</sub> and R<sub>5</sub> each denote a hydrogen atom or

R<sub>4</sub> and R<sub>5</sub> together denote another carbon-carbon bond and

B denotes a carboxyphenyl or methoxycarbonylphenyl group,

and A does not denote a phenyl group substituted by a methyl or phenyl group if

R<sub>1</sub> and R<sub>2</sub> each denote a hydrogen atom,

R<sub>3</sub> denotes a hydrogen atom,

R<sub>4</sub> and R<sub>5</sub> together denote another carbon-carbon bond and

B denotes a carboxyphenyl or methoxycarbonylphenyl group,

a naphthyl group,

a chromane or chromene group wherein a methylene group may be replaced by a carbonyl group,

a 5- or 6-membered heteroaryl group optionally substituted in the carbon skeleton by a fluorine, chlorine or bromine atom or by a C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy group, whilst the 6-membered heteroaryl groups contain one, two or three nitrogen atoms and the 5-membered heteroaryl groups contain an imino group optionally substituted by a C<sub>1-3</sub>-alkyl group, an oxygen or sulphur atom or an imino group optionally substituted by a C<sub>1-3</sub>-alkyl group and an oxygen or sulphur atom or one or two nitrogen atoms and additionally a phenyl ring may be fused to the abovementioned monocyclic heteroaryl groups via two adjacent carbon atoms, whilst said phenyl ring may also be substituted in the carbon skeleton by a fluorine, chlorine or bromine atom, by a C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy group,

a phenylvinyl group or

$R_1$  together with A and the carbon atom between them denote a  $C_{5-7}$ -cycloalkylidene group to which a phenyl ring may be fused via two adjacent carbon atoms, whilst said phenyl ring may additionally be substituted by one or two  $C_{1-3}$ -alkyl or  $C_{1-3}$ -alkoxy groups, whilst the substituents may be identical or different, and

B denotes a 5- or 6-membered heteroaryl group substituted by a carboxy group or by a group which may be converted into a carboxy group *in vivo*,

a phenyl or naphthyl group, each of which may be substituted by a carboxy group, by a group which may be converted into a carboxy group *in vivo* or by a group which is negatively charged under physiological conditions, whilst the abovementioned phenyl groups may additionally be substituted

by a fluorine, chlorine, bromine or iodine atom,

by a  $C_{1-3}$ -alkyl, trifluoromethyl, phenyl, hydroxy,  $C_{1-3}$ -alkoxy,  $C_{1-3}$ -alkylsulphonyloxy, phenylsulphonyloxy, carboxy,  $C_{1-3}$ -alkoxycarbonyl, formyl,  $C_{1-3}$ -alkylcarbonyl,  $C_{1-3}$ -alkylsulphonyl, phenylsulphonyl, nitro, pyrrolidino, piperidino, morpholino, N-( $C_{1-3}$ -alkyl)-piperazino, aminoulphonyl,  $C_{1-3}$ -alkylaminosulphonyl or di-( $C_{1-3}$ -alkyl)-aminosulphonyl group,

by a  $C_{1-3}$ -alkyl group which is substituted by a hydroxy,  $C_{1-3}$ -alkoxy, amino,  $C_{1-4}$ -alkylamino, di-( $C_{1-4}$ -alkyl)-amino,  $C_{3-7}$ -cycloalkylamino, pyrrolidino, piperidino, morpholino, piperazino or N-( $C_{1-3}$ -alkyl)-piperazino group,

by an n- $C_{2-3}$ -alkoxy,  $C_{2-3}$ -alkenyl or  $C_{2-3}$ -alkynyl group substituted in the 2 or 3 position by a di-( $C_{1-3}$ -alkyl)-amino group,

by an amino group, by an N-( $C_{1-3}$ -alkyl)-amino or N,N-di-( $C_{1-3}$ -alkyl)-amino group wherein the alkyl moiety may in each case be substituted in the 2 or 3 position in



relation to the nitrogen atom by a C<sub>1-3</sub>-alkoxy group, by an N-phenylamino, N-(phenyl-C<sub>1-3</sub>-alkyl)-amino or N-(pyridyl-C<sub>1-3</sub>-alkyl)-amino group wherein in each case a hydrogen atom of the abovementioned amino groups may be substituted by a C<sub>1-3</sub>-alkylsulphonyl, phenyl-C<sub>1-3</sub>-alkylsulphonyl or phenylsulphonyl group or by a C<sub>1-7</sub>-alkyl group which may be replaced in the 2 to 5 position by a C<sub>1-3</sub>-alkoxy, cyano, amino, C<sub>1-3</sub>-alkylamino, di-(C<sub>1-3</sub>-alkyl)-amino or tetrazolyl group,

by an aminocarbonyl or C<sub>1-3</sub>-alkylaminocarbonyl group which may in each case be substituted at the amino-nitrogen atom

by a C<sub>1-4</sub>-alkyl group which may be substituted by a vinyl, ethynyl, phenyl, pyridyl, imidazolyl, carboxy or trifluoromethyl group or, with the exception of the 2 position relative to the aminocarbonyl nitrogen atom, by a hydroxy, C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkylthio, amino, C<sub>1-3</sub>-alkylamino, di-(C<sub>1-3</sub>-alkyl)-amino, C<sub>1-4</sub>-alkanoylamino or C<sub>1-5</sub>-alkoxycarbonylamino group,

by a C<sub>3-7</sub>-cycloalkyl, C<sub>5-9</sub>-azabicycloalkyl, phenyl, pyridyl, C<sub>1-3</sub>-alkoxy or di-(C<sub>1-3</sub>-alkyl)-amino group,

by a C<sub>1-3</sub>-alkyl group which is substituted by a piperidin-3-yl or piperidin-4-yl group optionally substituted in the 1 position by a C<sub>1-3</sub>-alkyl or C<sub>1-5</sub>-alkoxycarbonyl group, or

by an amino, C<sub>1-3</sub>-alkylamino or phenyl-C<sub>1-3</sub>-alkylamino group optionally substituted at the amino-nitrogen atom by a C<sub>1-4</sub>-alkanoyl, C<sub>1-5</sub>-alkoxycarbonyl, benzoyl, pyrrolidino, piperidino, morpholino or N-(C<sub>1-3</sub>-alkyl)-piperazino group,

by a carbonyl group substituted by a pyrrolidino, pyrrolino, piperidino, morpholino or N-(C<sub>1-3</sub>-alkyl)-piperazino group,

by a sulphonyl group substituted by an amino, C<sub>1-3</sub>-alkylamino, di-(C<sub>1-3</sub>-alkyl)-amino, pyrrolidino, piperidino, morpholino or N-(C<sub>1-3</sub>-alkyl)-piperazino group,

by an amino or N-(C<sub>1-3</sub>-alkyl)-amino group which may in each case be substituted at the amino-nitrogen atom by an aminocarbonyl, C<sub>1-3</sub>-alkylaminocarbonyl, phenyl-C<sub>1-3</sub>-alkylaminocarbonyl, phenylaminocarbonyl, phenoxyphenylaminocarbonyl, pyridylaminocarbonyl, pyrrolidinocarbonyl, piperidinocarbonyl, morpholinocarbonyl or N-(C<sub>1-3</sub>-alkyl)-piperazinocarbonyl group, wherein additionally any hydrogen atom of one of the abovementioned aminocarbonyl groups present may be substituted by a C<sub>1-3</sub>-alkyl group,

by a 5- or 6-membered heteroaryl group,

by a dihydro-oxazolyl, dihydro-imidazolyl, 2-oxo-pyrrolidino, 2-oxo-piperidino or 2-oxo-hexamethyleneimino group to which a phenyl ring may be fused via two adjacent carbon atoms,

by an ethynyl group substituted by a phenyl, hydroxymethyl or dimethylamino group, whilst

additionally the abovementioned mono- or disubstituted phenyl groups may be substituted by another fluorine, chlorine or bromine atom or by one or two other C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy groups and two C<sub>1-3</sub>-alkoxy groups in the o position may be replaced by a methylenedioxy group,

and the abovementioned 6-membered heteroaryl groups contain one, two or three nitrogen atoms and the abovementioned 5-membered heteroaryl groups contain an imino group optionally substituted by a C<sub>1-3</sub>-alkyl group, an oxygen or sulphur atom or an imino group optionally substituted by a C<sub>1-3</sub>-alkyl group substituted and an oxygen or sulphur atom or one or two nitrogen atoms and additionally a phenyl ring may be fused to the abovementioned monocyclic heteroaryl groups via two adjacent carbon atoms, this phenyl

ring optionally being substituted in the carbon skeleton by a fluorine, chlorine or bromine atom or by a C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy group, whilst the abovementioned 5-membered monocyclic heteroaryl groups in the carbon skeleton may additionally be substituted by a C<sub>1-4</sub>-alkyl, trifluoromethyl, phenyl or furanyl group and by another C<sub>1-3</sub>-alkyl group,

5

and the amino and imino groups mentioned in the definition of the abovementioned groups may additionally be substituted by a group which may be cleaved *in vivo*,

or a physiologically acceptable salt thereof.

10

4. A compound of the formula I, according to claim 3, wherein:

B and R<sub>2</sub> to R<sub>5</sub> are defined as in claim 3,

15

R<sub>1</sub> denotes a hydrogen atom or a C<sub>1-3</sub>-alkyl group and

A denotes a phenyl, naphthyl or tetrahydronaphthyl group substituted by a fluorine, chlorine, bromine or iodine atom or by a C<sub>1-6</sub>-alkyl, C<sub>3-7</sub>-cycloalkyl, phenyl, C<sub>1-3</sub>-alkoxy, trifluoromethyl or nitro group, whilst the abovementioned monosubstituted phenyl and naphthyl groups may additionally be substituted by a fluorine, chlorine or bromine atom or by a C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy group, with the proviso that

20

A does not denote a phenyl group which may be mono- or disubstituted by halogen atoms, C<sub>1-4</sub>-alkyl or C<sub>1-3</sub>-alkoxy groups, wherein the substituents may be identical or different, and does not represent a 4-biphenyl or pentylphenyl group if

25

R<sub>1</sub> and R<sub>2</sub> each denote a hydrogen atom or a C<sub>1-4</sub>-alkyl group,

R<sub>3</sub> denotes a hydrogen atom,

R<sub>4</sub> and R<sub>5</sub> each denote a hydrogen atom or

30

R<sub>4</sub> and R<sub>5</sub> together denote another carbon-carbon bond and  
B denotes a carboxyphenyl or methoxycarbonylphenyl group,

a naphthyl group,

5

a chromane or chromene group wherein a methylene group may be replaced by a carbonyl group,

10

a 5- or 6-membered heteroaryl group optionally substituted in the carbon skeleton by a fluorine, chlorine or bromine atom or by a C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy group, whilst the 6-membered heteroaryl groups contain one, two or three nitrogen atoms and the 5-membered heteroaryl groups contain an imino group optionally substituted by a C<sub>1-3</sub>-alkyl group, an oxygen or sulphur atom or an imino group optionally substituted by a C<sub>1-3</sub>-alkyl group and an oxygen or sulphur atom or one or two nitrogen atoms and additionally a phenyl ring may be fused to the abovementioned monocyclic heteroaryl groups via two adjacent carbon atoms, whilst said phenyl ring may also be substituted in the carbon skeleton by a fluorine, chlorine or bromine atom or by a C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy group,

15

the isomers thereof and the salts thereof.

20

5. A compound of the formula I according to claim 3, wherein:

R<sub>1</sub> denotes a hydrogen atom or a C<sub>1-3</sub>-alkyl group,

25

R<sub>2</sub> denotes a hydrogen atom or a methyl group or, if R<sub>4</sub> and R<sub>5</sub> each denote a hydrogen atom, R<sub>1</sub> and R<sub>2</sub> together denote a methylene bridge,

R<sub>3</sub> denotes a hydrogen atom or a C<sub>1-5</sub>-alkyl group,

30

R<sub>4</sub> and R<sub>5</sub> together denote another carbon-carbon bond,

A denotes a phenyl group substituted by a fluorine, chlorine, bromine or iodine atom or by a C<sub>1-5</sub>-alkyl, cyclohexyl, phenyl, methoxy, cyano or trifluoromethyl group,

5 a phenyl group substituted by fluorine, chlorine or bromine atoms, by methyl or methoxy groups, whilst the substituents may be identical or different, or

a C<sub>1-3</sub>-alkylphenyl group, which is disubstituted by fluorine, chlorine or bromine atoms, whilst the substituents may be identical or different, with the proviso that

10 A does not denote a phenyl group which is substituted by a halogen atom, by a methyl, pentyl, C<sub>1-3</sub>-alkoxy or phenyl group or by two C<sub>1-3</sub>-alkoxy groups, if

R<sub>3</sub> denotes a hydrogen atom,

R<sub>4</sub> and R<sub>5</sub> each denote a hydrogen atom or

15 R<sub>4</sub> and R<sub>5</sub> together denote another carbon-carbon bond and

B denotes a carboxyphenyl or methoxycarbonylphenyl group,

and A does not denote a phenyl group which is substituted by a methyl or phenyl group if

20

R<sub>1</sub> and R<sub>2</sub> each denote a hydrogen atom,

R<sub>3</sub> denotes a hydrogen atom,

R<sub>4</sub> and R<sub>5</sub> together denote another carbon-carbon bond and

B denotes a carboxyphenyl or methoxycarbonylphenyl group,

25

a naphthyl group optionally substituted by a fluorine, chlorine or bromine atom or by a methyl or methoxy group,

a tetrahydronaphthyl group,

30

a chromene group wherein a methylene group is replaced by a carbonyl group,

a pyridyl, benzofuryl, benzothienyl, quinolyl or isoquinolyl group optionally substituted by a methyl group and

- 5 B denotes a cyclohexyl, trimethoxyphenyl, methylenedioxyphenyl, naphthyl, pyridyl, thienyl, pyrazolyl, quinolyl or isoquinolyl group substituted by a carboxy group,

a phenyl group substituted by a carboxy, methoxycarbonyl, ethoxycarbonyl, hydroxymethyl, sulpho, tetrazolyl, methylsulphonylaminocarbonyl or

- 10 phenylsulphonylaminocarbonyl group, which may additionally be substituted

by a fluorine, chlorine, bromine or iodine atom,

- 15 by a methyl, trifluoromethyl, phenyl, hydroxymethyl, hydroxy, methoxy, methylsulphonyloxy, 2-dimethylamino-ethoxy, carboxy, nitro, methylsulphonylamino, phenylsulphonylamino, aminosulphonyl, pyrrolidino, piperidino or morpholino group,

- 20 by a methyl group which is substituted by an amino, C<sub>1-3</sub>-alkylamino, cyclopentylamino, pyrrolidino or piperidino group,

by an amino, N-methyl-amino or N-(2-methoxy-ethyl)-amino group which may in each case be substituted at the amino-nitrogen atom

- 25 by a C<sub>1-7</sub>-alkyl or phenyl group,

by an ethyl group which is substituted in the 1 or 2 position by a phenyl or pyridyl group,

- 30 by a C<sub>2-4</sub>-alkyl group which is terminally substituted by a methoxy, cyano, dimethylamino or tetrazolyl group,

by an acetyl, benzoyl, C<sub>1-5</sub>-alkoxycarbonyl, aminocarbonyl or methylaminocarbonyl group, whilst the aminocarbonyl moiety of the abovementioned groups may in each case additionally be substituted by an optionally phenyl-substituted C<sub>1-3</sub>-alkyl group, by a phenyl, phenoxyphenyl or pyridyl group,

by a methylsulphonyl, phenylsulphonyl or benzylsulphonyl group,

by an aminocarbonyl or methylaminocarbonyl group which may in each case be substituted at the amino-nitrogen atom

by a C<sub>1-4</sub>-alkyl, C<sub>3-6</sub>-cycloalkyl, phenyl, benzyl, pyridyl, pyridylmethyl or methoxy group,

by a methyl group which is substituted by a vinyl, ethynyl, trifluoromethyl, C<sub>7-9</sub>-azabicycloalkyl, carboxy or imidazolyl group or by a piperidin-4-yl group optionally substituted in the 1 position by a methyl or C<sub>1-5</sub>-alkoxycarbonyl group,

by a straight-chain or branched C<sub>2-3</sub>-alkyl group substituted in the 2 or 3 position by a hydroxy, methoxy, methylthio, amino, acetylamino, C<sub>1-5</sub>-alkoxycarbonylamino, carboxy-, C<sub>1-5</sub>-alkoxycarbonyl or dimethylamino group,

by a pyrrolidino, piperidino, morpholino, 4-methyl-piperazino, amino or methylamino group, whilst the abovementioned amino and methylamino groups may each additionally be substituted at the amino-nitrogen atom by a methyl, acetyl, benzoyl or C<sub>1-5</sub>-alkoxycarbonyl group,

by a dihydro-oxazolyl, dihydro-imidazolyl, 2-oxo-pyrrolidino, 2-oxo-piperidino or 2-oxo-hexamethyleneimino group to which a phenyl ring may be fused via two adjacent carbon atoms,

5 by an imidazolyl or 4-methyl-imidazolyl group optionally substituted by a methyl, ethyl or phenyl group, to which a phenyl ring may additionally be fused via two adjacent carbon atoms,

10 a pyrazolyl group optionally substituted by a C<sub>1-4</sub>-alkyl or furanyl group, which may additionally be substituted by a methyl or trifluoromethyl group,

by an ethynyl group substituted by a phenyl, hydroxymethyl or dimethylamino group, whilst

15 additionally the abovementioned mono- or disubstituted phenyl groups may be substituted by another fluorine, chlorine or bromine atom or by one or two other methyl or methoxy groups,

20 or a physiologically acceptable salt thereof.

6. A compound of the formula I according to claim 3, wherein:

25 R<sub>1</sub> denotes a hydrogen atom or a C<sub>1-3</sub>-alkyl group,

R<sub>2</sub> denotes a hydrogen atom or R<sub>1</sub> and R<sub>2</sub> together denote a methylene group, if R<sub>4</sub> and R<sub>5</sub> each simultaneously denote a hydrogen atom,

30 R<sub>3</sub> denotes a hydrogen atom,

R<sub>4</sub> and R<sub>5</sub> together denote another carbon-carbon bond,



A denotes a phenyl or naphthyl group mono- or disubstituted by a fluorine, chlorine, bromine or iodine atom or by a C<sub>1-6</sub>-alkyl, C<sub>3-7</sub>-cycloalkyl or trifluoromethyl group, whilst the substituents may be identical or different, with the proviso that

5

A does not denote a phenyl group which may be mono- or di-substituted by halogen atoms or C<sub>1-4</sub>-alkyl groups, wherein the substituents may be identical or different, and does not denote a 4-biphenyl or pentyphenyl group if

10

R<sub>1</sub> denotes a hydrogen atom or a C<sub>1-3</sub>-alkyl group,

R<sub>2</sub> denotes a hydrogen atom,

R<sub>3</sub> denotes a hydrogen atom,

R<sub>4</sub> and R<sub>5</sub> each denote a hydrogen atom or

R<sub>4</sub> and R<sub>5</sub> together denote another carbon-carbon bond and

15

B denotes a carboxyphenyl or methoxycarbonylphenyl group,

a naphthyl group,

a chromene group wherein a methylene group is replaced by a carbonyl group,

20

a benzothieryl group and

B denotes a phenyl, naphthyl, thienyl or pyridinyl group, each of which is substituted by a carboxy group, whilst the abovementioned phenyl groups may additionally be substituted

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by a fluorine, chlorine or bromine atom,

by a C<sub>1-3</sub>-alkyl, hydroxy, C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkylsulphonyloxy, pyrrolidino, piperidino, morpholino or N-(C<sub>1-3</sub>-alkyl)-piperazino group,

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by an n-C<sub>2-3</sub>-alkoxy, C<sub>2-3</sub>-alkenyl or C<sub>2-3</sub>-alkynyl group substituted in the 2 or 3 position by a di-(C<sub>1-3</sub>-alkyl)-amino group,

by an N-methyl-N-(n-C<sub>2-3</sub>-alkyl)-amino group substituted in the 2 or 3 position by a di-(C<sub>1-3</sub>-alkyl)-amino group,

by a di-(C<sub>1-3</sub>-alkyl)-amino group,

by an imidazolyl or pyrazolyl group optionally substituted by a C<sub>1-4</sub>-alkyl group,

by a C<sub>1-4</sub>-alkylaminocarbonyl, N-(pyridinylmethyl)-aminocarbonyl, pyrrolidinocarbonyl or piperidinocarbonyl group and

may additionally be substituted by another fluorine atom, by another C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy group,

or a physiologically acceptable salt thereof.

7. A compound of the formula I according to claim 3, wherein:

R<sub>1</sub> denotes a methyl group,

R<sub>2</sub> denotes a hydrogen atom,

R<sub>3</sub> denotes a hydrogen atom,

R<sub>4</sub> and R<sub>5</sub> together denote another carbon-carbon bond,

A denotes a phenyl group substituted by two chlorine or bromine atoms or by a chlorine atom and a bromine atom, a naphthyl, 2-oxo-chromene or benzothienyl group, with the proviso that

5 A does not denote a phenyl group disubstituted by halogen atoms if

R<sub>1</sub> denotes a methyl group,

R<sub>2</sub> denotes a hydrogen atom,

R<sub>3</sub> denotes a hydrogen atom,

10 R<sub>4</sub> and R<sub>5</sub> each denote a hydrogen atom or

R<sub>4</sub> and R<sub>5</sub> together denote another carbon-carbon bond and

B denotes a carboxyphenyl or methoxycarbonylphenyl group,

15 and B denotes a 2-carboxy-phenyl, 2-carboxy-thienyl or 2-carboxy-pyridinyl group, whilst the abovementioned 2-carboxy-phenyl group may additionally be substituted in the phenyl nucleus

by a fluorine, chlorine or bromine atom,

20 by a C<sub>1-3</sub>-alkyl, hydroxy, C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkylsulphonyloxy or morpholino group,

by an n-C<sub>2-3</sub>-alkoxy group substituted in the 2 or 3 position by a di-(C<sub>1-3</sub>-alkyl)-amino group,

25 by an N-methyl-N-(n-C<sub>2-3</sub>-alkyl)-amino group substituted in the 2 or 3 position by a di-(C<sub>1-3</sub>-alkyl)-amino group,

by an imidazolyl or pyrazolyl group optionally substituted by a C<sub>1-4</sub>-alkyl group,

30 by a C<sub>1-4</sub>-alkylaminocarbonyl, N-(pyridinylmethyl)-aminocarbonyl, pyrrolidinoaminocarbonyl or piperidinoaminocarbonyl group and

may additionally be substituted by another fluorine atom or by another methoxy group,

5 or a physiologically acceptable salt thereof.

8. A compound selected from the group consisting of:

- 10 (1) trans-3-(naphth-2-yl)-but-2-enoic acid-N-(2-carboxy-phenyl)-amide,
- (2) trans-3-(naphth-2-yl)-but-2-enoic acid-N-(2-carboxy-4,5-dimethoxy-phenyl)-amide,
- (3) trans-3-(naphth-2-yl)-but-2-enoic acid-N-(2-carboxy-4-fluoro-phenyl)-amide,
- 15 (4) trans-3-(naphth-2-yl)-but-2-enoic acid-N-(2-carboxy-4,5-difluoro-phenyl)-amide,
- (5) trans-3-(naphth-2-yl)-but-2-enoic acid-N-(2-carboxy-5-fluoro-phenyl)-amide,
- 20 (6) trans-3-(naphth-2-yl)-but-2-enoic acid-N-(2-carboxy-4-methoxy-5-methyl-phenyl)-amide,
- (7) trans-3-(naphth-2-yl)-but-2-enoic acid-N-[2-carboxy-4-(morpholin-4-yl)-phenyl]-amide,
- 25 (8) trans-3-(naphth-2-yl)-but-2-enoic acid-N-(2-carboxy-4-dimethylamino-phenyl)-amide,
- (9) trans-3-(naphth-2-yl)-but-2-enoic acid-N-(2-carboxy-4-hydroxy-phenyl)-amide,
- 30 (10) trans-3-(naphth-2-yl)-but-2-enoic acid-N-(3-carboxy-thiophen-4-yl)-amide,

(11) trans-3-(naphth-2-yl)-but-2-enoic acid-N-[2-carboxy-4-(imidazol-1-yl)-phenyl]-amide,

(12) trans-3-(2-oxo-2H-chromen-3-yl)-but-2-enoic acid-N-(2-carboxy-phenyl)-amide,

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(13) trans-3-(naphth-2-yl)-but-2-enoic acid-N-[2-carboxy-4-(imidazol-1-yl)-5-fluorophenyl]-amide,

(14) trans-3-(benzothiophen-2-yl)-but-2-enoic acid-N-(2-carboxy-phenyl)-amide,

10

(15) trans-3-(naphth-2-yl)-but-2-enoic acid-N-(2-carboxy-4-methanesulphonyloxyphenyl)-amide,

(16) trans-3-(naphth-2-yl)-but-2-enoic acid-N-[2-carboxy-4-(2-N,N-dimethylaminoethyloxy)-phenyl]-amide,

15

(17) trans-3-(naphth-2-yl)-but-2-enoic acid-N-(4-carboxy-pyridin-3-yl)-amide,

(18) trans-3-(3,4-dichlorophenyl)-but-2-enoic acid-N-(2-carboxy-4,5-dimethoxy-phenyl)-amide,

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(19) trans-3-(3-chloro-4-bromophenyl)-but-2-enoic acid-N-(2-carboxy-phenyl)-amide,

(20) trans-3-(naphth-2-yl)-but-2-enoic acid-N-(2-carboxy-6-methyl-phenyl)-amide,

25

(21) trans-3-(naphth-2-yl)-but-2-enoic acid-N-(2-carboxy-6-fluoro-phenyl)-amide,

(22) trans-3-(naphth-2-yl)-but-2-enoic acid-N-[2-carboxy-5-(propylaminocarbonyl)-phenyl]-amide,

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(23) trans-3-(naphth-2-yl)-but-2-enoic acid-N-[2-carboxy-5-(pyrrolidin-1-yl-aminocarbonyl)-phenyl]-amide,

(24) trans-3-(naphth-2-yl)-but-2-enoic acid-N-[2-carboxy-5-(N-(pyridin-3-yl-methyl)-aminocarbonyl)-phenyl]-amide,

(25) trans-3-(naphth-2-yl)-but-2-enoic acid-N-(2-carboxy-6-chloro-phenyl)-amide

or a physiologically acceptable salt thereof.

9. A pharmaceutical composition containing a compound according to claim 3 together with one or more inert carriers and/or diluents.